L1 1 S US 20080161312/PN

L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of pyrrolo[2,3-b]pyrazines as kinase inhibitors for treatment
of neurodegenerative and proliferative disorders
ACCESSION NUMBER: 2004:117251 HCAPLUS Full-text
DOCUMENT NUMBER: 140:163892
TITLE: Preparation of pyrrolo[2,3-b]pyrazines as kinase
inhibitors for treatment of neurodegenerative and
proliferative disorders
INVENTOR(S): Meijer, Laurent; Vierfond, Jean-Michel; Mettey, Yvette
PATENT ASSIGNEE(S): Centre National De La Recherche Scientifique (Cnrs),
Fr.
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1388541 | A1 | 20040211 | EP 2002-292019 | 20020809 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CA 2495060 | A1 | 20040226 | CA 2003-2495060 | 20030808 |
| WO 2004016614 | A2 | 20040226 | WO 2003-EP9515 | 20030808 |
| WO 2004016614 | A3 | 20040506 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

AU 2003271566 A1 20040303 AU 2003-271566
20030808
EP 1527077 A2 20050504 EP 2003-753362

FILE 'REGISTRY' ENTERED AT 10:34:42 ON 22 SEP 2009
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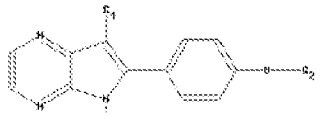

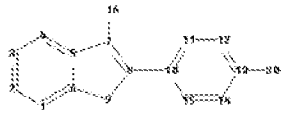

L3 3 S L2 SSS SAM
L4 66 S L2 SSS FULL

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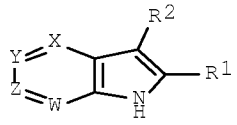
L5 29 S L4
L6 5 S L5 AND (PY<2002 OR AY<2002 OR PRY<2002)

L6 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Synthesis of heterocyclic compounds employing microwave technology
GI



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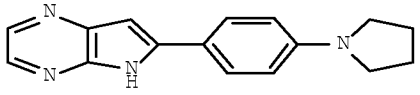

II

AB The heterocycles I (X = N, CR4, Y and Z = CH, CHR3, W = N; X = CR4, Y and W = N, Z = CR3; Y = CR3, Z and W = N, X = N, CR4; Y = bond, W = N, Z = CR5, X = O, S, NR6; Y = bond, W = N, X = CR4, Z = O, S, NR7; Y = bond, W = O, X = CR4, Z = N, CR5; Y = bond, W = O, X = N, Z = CR5; R1 = aryl, heteroaryl which may be optionally substituted; R2 = H, acyl, cyano, halo, alkenyl, etc.; R3 = H, aryl cyano, halo, heteroaryl, etc.; R4 = H, halo, cyano, OH, nitro, etc.; R5 = cyano, H, amino, etc., R6 = H, cyano,, alkyl, cycloalkyl, CO2H, carbamoyl, etc.; R7 = H, alkyl) were prepared using microwave energy. Thus, a microwave tube was charged with 6-(4-trifluoromethylsulfonyloxyphenyl)-5H-pyrrolo[2,3-b]pyrazine, pyrrolidine and dioxane and DMF, and heated at 200° in an

microwave oven for 1 h to give 6-(4-pyrrolidinophenyl)-5H-pyrrolo[2,3-b]pyrazine (II).

ACCESSION NUMBER: 2003:5959 HCAPLUS Full-text
DOCUMENT NUMBER: 138:73275
TITLE: Synthesis of heterocyclic compounds employing microwave technology
INVENTOR(S): Majid, Tahir Nadeem; Deprets, Stephanie D.; Pedgrift, Brian L.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 50 pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003000690 | A1 | 20030103 | WO 2002-US20206 | 20020625 <-- |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002310513 | A1 | 20030108 | AU 2002-310513 | 20020625 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-300733P | P 20010625 <-- |
| | | | GB 2001-19307 | A 20010808 <-- |
| | | | WO 2002-US20206 | W 20020625 |

OTHER SOURCE(S): CASREACT 138:73275; MARPAT 138:73275
IC ICM C07D471-04
ICS C07D487-04; B01J019-12
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 27
IT 479552-38-0P 479552-40-4P 479554-13-7P 480423-10-7P
480423-12-9P 480423-13-0P 480423-25-4P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(synthesis of heterocyclic compds. employing microwave technol.)
IT 55052-24-9P 55052-28-3P 105956-24-9P 107718-01-4P 143122-18-3P
191411-51-5P 191411-55-9P 191411-66-2P 348637-52-5P
348638-62-0P 348639-50-9P 348639-51-0P 348639-70-3P
348640-05-1P 348640-06-2P 348640-07-3P 348640-26-6P 348640-27-7P
348640-60-8P 348640-71-1P 479551-45-6P
479551-48-9P 479552-39-1P 480423-16-3P 480423-17-4P
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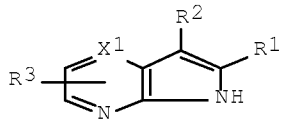
480423-23-2P 480423-24-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(synthesis of heterocyclic compds. employing microwave technol.)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of azaindoles as protein kinase inhibitors
GI



I

AB The invention is directed to physiol. active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H- pyrrolo[2,3-b]pyrazine) and compns. containing such compds.; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. Such compds. and compns. have valuable pharmaceutical properties, in particular the ability to inhibit kinases, especially Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example prepns. of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by ≥1 groups = alkylenedioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -

NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and ≥1 halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥1 hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥1 hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(O)OR5, CC(O)NY1Y2, CN(R8)C(O)R, CN(R6)C(O)OR7, CN(R6)C(O)NY3Y4, CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by ≥1 aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by ≥1 aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY3Y4, -C(O)OR5, NY3Y4, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O)n; Z3 = O, S(O)n, NR6; n = 0-2.

ACCESSION NUMBER: 2003:5957 HCAPLUS Full-text
DOCUMENT NUMBER: 138:55984
TITLE: Preparation of azaindoles as protein kinase inhibitors
INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets, Stephanie Daniele; Edlin, Chris; Gardner, Charles J.; Kominos, Dorothea; Pedgrift, Brian Leslie; Halley, Frank; Gillespy, Timothy Alan; Edwards, Michael; Clerc, Francois Frederic; Nemecek, Conception; Houille, Olivier; Damour, Dominique; Bouchard, Herve; Bezard, Daniel; Carrez, Chantal
PATENT ASSIGNEE(S): Aventis Pharma Limited, UK
SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2003000688 | A1 | 20030103 | WO 2002-GB2799 | 20020620 <-- |
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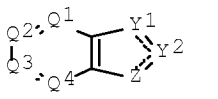
| CA 2451678 | A1 | 20030103 | CA 2002-2451678 | 20020620 <-- |
| AU 2002302849 | A1 | 20030108 | AU 2002-302849 | 20020620 <-- |
| EP 1397360 | A1 | 20040317 | EP 2002-730531 | 20020620 <-- |

L6 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of 6,5-fused bicyclic heterocycles as 15-lipoxygenase inhibitors

GI

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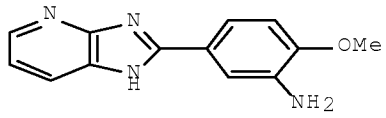


II

AB The title compds. [I; Q1-Q4 = CX, N; one or two of Q1-Q4 = N; or each of Q1-Q4 = CH2 and there is a C=C bond between the carbon atoms bearing Q1 and Y1, and Q4 and Z, resp.; X = H, halo, OH, etc.; one of Y1 and Y2 = CH, N, NH, S, O; and the other one of Y1 and Y2 = CWAr (wherein W = a bond, O, S, etc.; Ar = Ph substituted at the 3- and 4-positions relative to W); Z = NR5, S, O, C, CH (R5 = H, alkyloxycarbonyl, aryloxycarbonyl, etc.)], useful for the

treatment of diseases responsive to the inhibition of the enzyme 15-lipoxygenase such as diseases with an inflammatory component, including atherosclerosis, diseases involving chemotaxis of monocytes, inflammation, stroke, coronary artery disease, asthma, arthritis, colorectal cancer, and psoriasis, were prepared and formulated. Thus, reacting 2,3-diaminopyridine with 4-methoxy-3-nitrobenzoic acid in the presence of POCl3 followed by reduction of the resulting 5-(3H-imidazo[4,5-b]pyridin-2-yl)-2-methoxynitrobenzene with Zn/AcOH afforded II which showed 39% inhibition of 15-LO at 10μM in human lysate 15-LO assay.

ACCESSION NUMBER: 2001:923797 HCAPLUS Full-text
DOCUMENT NUMBER: 136:53746
TITLE: Preparation of 6,5-fused bicyclic heterocycles as
15-lipoxygenase inhibitors
INVENTOR(S): Picard, Joseph Armand; Roark, William Howard; Sliskovic, Drago Robert
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001096336 | A2 | 20011220 | WO 2001-US15112 | 20010509 <-- |
| WO 2001096336 | A3 | 20020328 | | |
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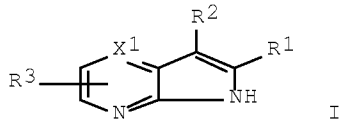
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2412462 | A1 | 20011220 | CA 2001-2412462 | 20010509 <-- |
| EP 1294718 | A2 | 20030326 | EP 2001-933269 | 20010509 <-- |

L6 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of azaindoles as protein kinase inhibitors
GI



I

AB The invention is directed to compns. containing physiol. active compds. of general formula [I; wherein R1 is (un)substituted aryl or heteroaryl; R2 represents hydrogen, acyl, cyano, halo, lower alkenyl or lower alkyl optionally substituted by a substituent selected from cyano, heteroaryl, heterocycloalkyl, -Z1R8, -CONY3Y4,-CO2R8,-NY3Y4, -N(R6)COR7, -N(R6)CONY3Y4, -N(R6)CO2R7, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and one or more halogen atoms; R3 represents hydrogen, aryl, cyano, halo, heteroaryl, lower alkyl, -CO2R5 or -CONY3Y4; and X1 represents N, CH, C-halo, C-CN, C-R7, C-NY3Y4, C-OH, C-Z2R7, C-CO2R5, C-CONY3Y4, C-N(R8)COR7, C-SO2NY3Y4, C-N(R8)SO2R7, C-alkenyl, C-alkynyl or C-NO2; wherein R5 represents hydrogen, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl; R6 represents hydrogen or lower alkyl; R7 represents alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 represents hydrogen or lower alkyl; represents; Y3 and Y4 are independently hydrogen, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 represents O or S; Z2 represents O or S(O)n; n is zero or an integer 1 or 2] and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. These compds. have valuable pharmaceutical properties, in particular the ability to inhibit protein kinases, especially Syk kinase, and are useful for the treatment of asthma, psoriasis, joint inflammation, and inflammatory bowel disease. Thus, a stirred solution of diisopropylamine (59.9 mL) in THF (1,400 mL), at -15 °C and under nitrogen, was treated with a solution of n-butyllithium in hexanes (131 mL, 1.6 M) over 25 min at <-10°. After stirring for 30 min the mixture was treated with methylpyrazine (26.8 g) over 15 min, then stirred for 1 h and then treated with a solution of 5-methoxy-1-methyl-1H-indole-3-carbonitrile (53 g) in THF (600 mL) over 1 h at <-10°, and the reaction mixture was allowed to warm to room temperature over 2 h and then stood overnight to give, after workup and flash chromatog., 6-(5-Methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3- b]pyrazine (19.4 g) as a gray solid. I showed IC50 of 10-100 nM against Syk kinase.

ACCESSION NUMBER: 2001:489395 HCAPLUS Full-text
DOCUMENT NUMBER: 135:92651
TITLE: Preparation of azaindoles as protein kinase inhibitors
INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine Yeun Quai; Morley, Andrew David; Amendola, Shelley; Deprets, Stephanie; Edlin, Chris
PATENT ASSIGNEE(S): Aventis Pharma Ltd., UK
SOURCE: PCT Int. Appl., 270 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2001047922 | A2 | 20010705 | WO 2000-GB4993 | 20001227 <-- |
| WO 2001047922 | A3 | 20020117 | | |
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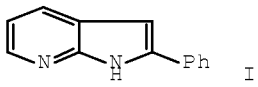
| CA 2395593 | A1 | 20010705 | CA 2000-2395593 | 20001227 <-- |
| EP 1263759 | A2 | 20021211 | EP 2000-985695 | 20001227 |

L6 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Reactions of β-(lithiomethyl)azines with nitriles as a route to pyrrolopyridines, -quinolines, -pyrazines, -quinoxalines and -pyrimidines

GI



I

AB Deprotonation of 3-methylpyridine, followed by reaction with benzonitile, gives an intermediate which, on treatment with addnl. strong base, cyclizes to give 2-phenyl[1H]-pyrrolo[2,3-b]pyridine (I). The application of this type of reaction to a variety of nitriles and β-methylazines (pyridines, quinolines, pyridines, quinoxalines and pyrimidines) is described.

ACCESSION NUMBER: 1992:174021 HCAPLUS Full-text
DOCUMENT NUMBER: 116:174021

ORIGINAL REFERENCE NO.: 116:29451a,29454a
TITLE: Reactions of β-(lithiomethyl)azines with nitriles
as a route to pyrrolopyridines, -quinolines, -pyrazines, -quinoxalines and -pyrimidines
AUTHOR(S): Davis, Michael L.; Wakefield, Basil J.; Wardell,
Jacklyn A.
CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5
4WT, UK
SOURCE: Tetrahedron (1992), 48(5), 939-52
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:174021
CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 10586-52-4P 27257-18-7P 53872-97-2P 53873-01-1P 64802-09-1P
78605-08-0P 78605-10-4P 86847-74-7P 139962-68-8P 139962-69-9P
139962-70-2P 139962-71-3P 139962-72-4P 139962-73-5P 139962-74-6P
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L7 6 S L5 AND (PY<2003 OR AY<2003 OR PRY<2003)
L8 1 S L7 NOT L6

L8 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of pyrrolo[2,3-b]pyrazines as kinase inhibitors for treatment
of neurodegenerative and proliferative disorders
ACCESSION NUMBER: 2004:117251 HCAPLUS Full-text
DOCUMENT NUMBER: 140:163892
TITLE: Preparation of pyrrolo[2,3-b]pyrazines as kinase
inhibitors for treatment of neurodegenerative and
proliferative disorders
INVENTOR(S): Meijer, Laurent; Vierfond, Jean-Michel; Mettey, Yvette
PATENT ASSIGNEE(S): Centre National De La Recherche Scientifique (Cnrs),
Fr.
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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L10           1 S L9 NOT (L6 OR L7)

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L12           1 S E15
               E 348637-50-3/RN
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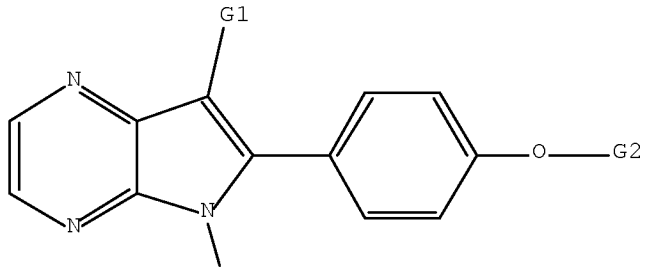


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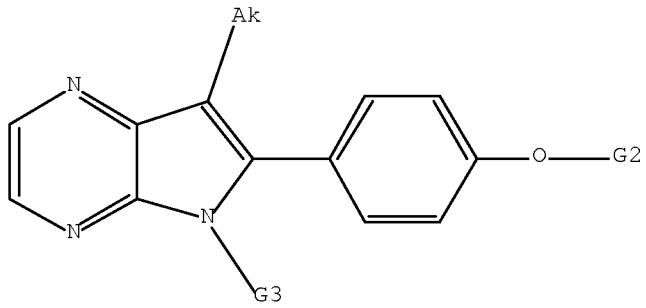


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G3 Me,Et,n-Pr,i-Pr,n-Bu,i-Bu

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L65           5 S L62
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L83           1 S E507
                E 496864-25-6/RN
L84           1 S E519

L84  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2009 ACS on STN
RN   496864-25-6  REGISTRY
ED   Entered STN:  04 Mar 2003
CN   5H-Pyrrolo[2,3-b]pyrazine, 5-methyl-6-phenyl-  (CA INDEX NAME)
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LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
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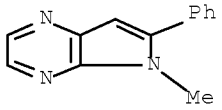


FILE 'HCAPLUS' ENTERED AT 11:22:38 ON 22 SEP 2009
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L85 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of indole- and pyrrolo[2,3-b]pyridine-containing amide derivatives as antagonists of transforming growth factor-β (TGF-β)
ACCESSION NUMBER: 2003:356416 HCAPLUS Full-text
DOCUMENT NUMBER: 138:368914
TITLE: Preparation of indole- and pyrrolo[2,3-b]pyridine-containing amide derivatives as antagonists of transforming growth factor-β (TGF-β)
INVENTOR(S): Maruyama, Yasufumi; Hirabayashi, Kazuko; Hori, Katsutoshi
PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2003037862 | A1 | 20030508 | WO 2002-JP11232 | 20021029 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002344424 | A1 | 20030512 | AU 2002-344424 | 20021029 |

EP 1452525 A1 20040901 EP 2002-779936
20021029
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US 20050014942 A1 20050120 US 2004-494622
20040430
PRIORITY APPLN. INFO.: JP 2001-332942 A
20011030
JP 2002-127771 A
20020430
WO 2002-JP11232 W
20021029
OTHER SOURCE(S): MARPAT 138:368914
IC ICM C07D209-18
ICS C07D209-42; C07D401-04; C07D401-06; C07D401-12; C07D401-14;
C07D403-12; C07D403-14; C07D405-04; C07D405-12; C07D405-14;
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A61K031-437; A61K031-454; A61K031-4725; A61K031-496
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 401-25-2P, N-(4-Fluoro-2-methylphenyl)benzamide 452-71-1P,
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2922-07-8P 10586-52-4P, 2-Phenyl-1H-pyrrolo[2,3-b]pyridine
13924-95-3P
24006-21-1P, 1-Methyl-1-(4-methylphenyl)hydrazine 25797-03-9P
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(Reactant or reagent)
(preparation of indole- and pyrrolo[2,3-b]pyridine-containing
amide derivs. as

antagonists of transforming growth factor-β for treatment of pulmonary fibrosis, scleroderma, systemic scleroderma, and nephritis)
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L85 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Aloisines, a New Family of CDK/GSK-3 Inhibitors. SAR Study, Crystal
Structure in Complex with CDK2, Enzyme Selectivity, and Cellular Effects
ACCESSION NUMBER: 2002:954429 HCAPLUS Full-text
DOCUMENT NUMBER: 138:147177
TITLE: Aloisines, a New Family of CDK/GSK-3 Inhibitors. SAR
Study, Crystal Structure in Complex with CDK2, Enzyme
Selectivity, and Cellular Effects
AUTHOR(S): Mettey, Yvette; Gompel, Marie; Thomas, Virginie;
Garnier, Matthieu; Leost, Maryse; Ceballos-Picot,
Irene; Noble, Martin; Endicott, Jane; Vierfond,
Jean-Michel; Meijer, Laurent
CORPORATE SOURCE: Faculte de Medecine et de Pharmacie, Poitiers, 86005,
Fr.
SOURCE: Journal of Medicinal Chemistry (2003), 46(2), 222-236
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:147177
CC 1-3 (Pharmacology)
Section cross-reference(s): 7, 28
IT 64802-09-1P 78605-10-4P 78605-13-7P 139962-68-8P 139962-77-9P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation and structure activity relationships of aloisines as CDK/GSK-3
inhibitors.)

E MEIJER LAURENT?/AU
L86 236 S E530
L87 4 S L86 AND L5
L88 1 S L87 AND (PY<2003 OR AY<2003 OR PRY<2003)

L88 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of pyrrolo[2,3-b]pyrazines as kinase inhibitors for treatment
of neurodegenerative and proliferative disorders
ACCESSION NUMBER: 2004:117251 HCAPLUS Full-text
DOCUMENT NUMBER: 140:163892
TITLE: Preparation of pyrrolo[2,3-b]pyrazines as kinase
inhibitors for treatment of neurodegenerative and
proliferative disorders
INVENTOR(S): Meijer, Laurent; Vierfond, Jean-Michel; Mettey, Yvette
PATENT ASSIGNEE(S): Centre National De La Recherche Scientifique (Cnrs),
Fr.
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2004016614 | A3 | 20040506 | | |
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NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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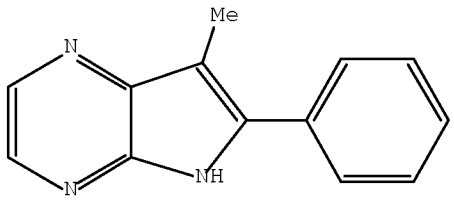
AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI,
SK, TR,

E VIERFOND JEAN?/AU
L89 41 S E542
L90 3 S L89 AND L5
L91 1 S L90 AND (PY<2003 OR AY<2003 OR PRY<2003)
L92 0 S L91 NOT L88
E METTEY YVETTE?/AU
L93 43 S E553-E554
L94 3 S L93 AND L5
L95 1 S L94 AND (PY<2003 OR AY<2003 OR PRY<2003)
L96 0 S L95 NOT L88

L1 STRUCTURE UPLOADED
L2 0 S L1 SSS SAM
L3 0 S L1 SSS FULL
L4 STRUCTURE UPLOADED

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR




L5 1 S L4 SSS SAM
L6 13 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:11:41 ON 24 SEP 2009
L7 14 S L6
L8 7 S L7 AND (PY<2002 OR AY<2002 OR PRY<2002)

L8 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of azaindoles as protein kinase inhibitors
ACCESSION NUMBER: 2003:5957 CAPLUS Full-text

DOCUMENT NUMBER: 138:55984
TITLE: Preparation of azaindoles as protein kinase inhibitors
INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine
Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets,
Stephanie Daniele; Edlin, Chris; Gardner, Charles J.;
Kominos, Dorothea; Pedgrift, Brian Leslie; Halley,
Frank; Gillespy, Timothy Alan; Edwards, Michael;
Clerc, Francois Frederic; Nemecek, Conception;
Houille, Olivier; Damour, Dominique; Bouchard, Herve;
Bezard, Daniel; Carrez, Chantal
PATENT ASSIGNEE(S): Aventis Pharma Limited, UK
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003000688 | A1 | 20030103 | WO 2002-GB2799 | 20020620 <-- |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

L8 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of azaindoles as protein kinase inhibitors
ACCESSION NUMBER: 2001:489395 CAPLUS Full-text
DOCUMENT NUMBER: 135:92651
TITLE: Preparation of azaindoles as protein kinase inhibitors
INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine

Yeun Quai; Morley, Andrew David; Amendola, Shelley;
Deprets, Stephanie; Edlin, Chris
PATENT ASSIGNEE(S): Aventis Pharma Ltd., UK
SOURCE: PCT Int. Appl., 270 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001047922 | A2 | 20010705 | WO 2000-GB4993 | 20001227 <-- |
| WO 2001047922 | A3 | 20020117 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2395593 | A1 | 20010705 | CA 2000-2395593 | 20001227 <-- |
| EP 1263759 | A2 | 20021211 | EP 2000-985695 | 20001227 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

L8 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
TI 2-Aryl-3-alkylpyrrolo[2,3-b]quinoxalines
ACCESSION NUMBER: 1983:405647 CAPLUS Full-text
DOCUMENT NUMBER: 99:5647
ORIGINAL REFERENCE NO.: 99:1033a,1036a
TITLE: 2-Aryl-3-alkylpyrrolo[2,3-b]quinoxalines
INVENTOR(S): Andreichikov, Yu. S.; Pitirimova, S. G.; Tokmakova, T.
N.; Nalimova, Yu. A.
PATENT ASSIGNEE(S): Perm Pharmaceutical Institute, USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy,
Tovarnye Znaki 1982, (45), 101.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| SU 979350 | A1 | 19821207 | SU 1981-3241244 | 19810129 <-- |

PRIORITY APPLN. INFO.: SU 1981-3241244 19810129 <--
IC C07D487-04
CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 85677-58-3P 85677-59-4P 85677-60-7P 85677-61-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L8 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
TI Ring opening or rearrangement versus N-oxidation in the action of peracids
upon pyrrolo[2,3-b]pyridines, pyrrolo[2,3-b]pyrazines, and triazolo[1,5-a-]- and triazolo[4,3-a]pyrazine. Some chemical and spectroscopic properties of the triazolopyrazines and their N-oxides
ACCESSION NUMBER: 1980:532395 CAPLUS Full-text
DOCUMENT NUMBER: 93:132395
ORIGINAL REFERENCE NO.: 93:21109a,21112a
TITLE: Ring opening or rearrangement versus N-oxidation in the action of peracids upon pyrrolo[2,3-b]pyridines, pyrrolo[2,3-b]pyrazines, and triazolo[1,5-a-]- and triazolo[4,3-a]pyrazine. Some chemical and spectroscopic properties of the triazolopyrazines and their N-oxides
AUTHOR(S): Hardy, Christopher R.; Parrick, John
CORPORATE SOURCE: Sch. Chem., Brunel Univ., Uxbridge, UB3 3PH, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1980), (2), 506-11
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:132395
CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 56015-26-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidative ring cleavage of)
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L8 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

TI  Mass spectrometry of pyrrolo[2,3-b]pyrazines and pyrazino[2,3-b]indole
ACCESSION NUMBER:  1978:88627  CAPLUS  Full-text
DOCUMENT NUMBER:  88:88627
ORIGINAL REFERENCE NO.:  88:13887a,13890a
TITLE:  Mass spectrometry of pyrrolo[2,3-b]pyrazines and
pyrazino[2,3-b]indole
AUTHOR(S):  Clark, B. A. J.; Parrick, J.
CORPORATE SOURCE:  Sch. Chem., Brunel Univ., Uxbridge, UK
SOURCE:  Organic Mass Spectrometry (1977), 12(7), 421-3
CODEN: ORMSBG; ISSN: 0030-493X
DOCUMENT TYPE:  Journal
LANGUAGE:  English
CC  22-2 (Physical Organic Chemistry)
IT  245-10-3  4745-93-1  20321-99-7  56015-24-8  56015-26-0
56015-27-1  56015-28-2  56015-29-3  65623-46-3
RL: PRP (Properties)
(mass spectrum of)
OS.CITING REF COUNT:  1  THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L8  ANSWER 6 OF 7  CAPLUS  COPYRIGHT 2009 ACS on STN
TI  Formation of certain substituted 5H-pyrrolo[2,3-b]pyrazines by thermal
cyclization of pyrazinylhydrazones and a route to 5H-pyrazino[2,3-b]indole; a synthesis of 5H-pyrrolo[2,3-b]pyrazine and
some of its properties
ACCESSION NUMBER:  1976:592666  CAPLUS  Full-text
DOCUMENT NUMBER:  85:192666
ORIGINAL REFERENCE NO.:  85:30815a,30818a
TITLE:  Formation of certain substituted 5H-pyrrolo[2,3-b]pyrazines by thermal cyclization of
pyrazinylhydrazones and a route to 5H-pyrazino[2,3-b]indole; a synthesis of 5H-pyrrolo[2,3-b]pyrazine and some of its properties
AUTHOR(S):  Clark, Bernard A. J.; Parrick, John; Dorgan, Roderick
J. J.
CORPORATE SOURCE:  Sch. Chem., Brunel Univ., Uxbridge, UK
SOURCE:  Journal of the Chemical Society, Perkin Transactions
1:  Organic and Bio-Organic Chemistry (1972-1999) (
1976), (13), 1361-3
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE:  Journal
LANGUAGE:  English
OTHER SOURCE(S):  CASREACT 85:192666
CC  28-18 (Heterocyclic Compounds (More Than One Hetero Atom))
IT  245-10-3P  4121-22-6P  4745-93-1P  20321-99-7P  56015-24-8P
56015-25-9P  56015-26-0P  56015-27-1P  56015-28-2P

56015-29-3P 56015-30-6P 56015-31-7P 60914-75-2P 60914-76-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)

L8 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN
TI Pyrrolo[2,3-b]pyrazines and pyrazino[2,3-b]indole
ACCESSION NUMBER: 1975:409991 CAPLUS Full-text
DOCUMENT NUMBER: 83:9991
ORIGINAL REFERENCE NO.: 83:1669a,1672a
TITLE: Pyrrolo[2,3-b]pyrazines and pyrazino[2,3-b]indole
AUTHOR(S): Clark, Bernard A. J.; Dorgan, Roderick J.; Parrick, John
CORPORATE SOURCE: Sch. Chem., Brunel Univ., Uxbridge, UK
SOURCE: Chemistry & Industry (London, United Kingdom) (1975), (5), 215-16
CODEN: CHINAG; ISSN: 0009-3068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 83:9991
CC 28-18 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 245-10-3P 4121-22-6P 4745-93-1P 20321-99-7P 56015-24-8P
56015-25-9P 56015-26-0P 56015-27-1P 56015-28-2P
56015-30-6P 56015-31-7P 56111-34-3P 56174-46-0P
RL: SPN (Synthetic preparation); PREP (Preparation)

FILE 'REGISTRY' ENTERED AT 10:13:34 ON 24 SEP 2009
E 348637-51-4/RN
SET EXPAND CONTINUOUS
L9 1 S E3
E 348637-49-0/RN
L10 1 S E15